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(54) ANTIPERSPIRANT COMPOSITIONS

(71) I, RAINER GREVE, of Kuhneweg 46, 236 Bad Segeberg, Federal Republic of Germany, a citizen of the Federal Republic of Germany, do hereby declare the invention, for

which I pray that a patent may be granted to me, and the method by which it is to be performed, to be particularly described in and by the following statement:—

This invention relates to an antiperspirant composition for external application in the form of a solid or liquid mixture.

In known antiperspirant compositions the active substance is usually a metal salt, for example aluminium chloride hydrate or zinc phosphate. While such preparations do satisfactorily inhibit perspiration, they have a number of undesirable side effects. In particular when they are applied as sprays objectionable effects can result by inhalation. The difficulty is increased by the fact that the metal salts which are used as the active substances have to be applied in comparatively high concentrations to obtain a sufficient inhibition of perspiration.

The present invention provides antiperspirant compositions in which the above disadvantages are partially or entirely avoided, which are simple and inexpensive to manufacture and are capable of providing a good inhibition of perspiration without the use of physiologically objectionable metal salts.

The invention provides an antiperspirant composition for external application in the form of a solid or liquid mixture comprising 0.02% to 10% by weight of a sitosterin and one or more conventional topically innocuous diluents or carrier materials.

Preferably the sitosterin is present in a proportion of from 0.05% to 2% by weight.

The sitosterin used is preferably β-sitosterin. β-sitosterin is a sterol similar to cholesterin (cholesterol) but of vegetable origin. It differs from cholesterin only in that it has an extra ethyl group on carbon atom No. 23. Preferably from 0.2% to 2% by weight of β-sitosterin is used in the compositions in the invention.

The compositions of the invention may also contain other compounds previously used in skin treatment preparations, for example

a) Aescigenin and its physiologically unobjectionable glycosides, salts or esters, particularly aescin and its sodium, potassium or ammonium salt,
b) Aesculetin and its physiologically unobjectionable glycosides, particularly aesculin,
c) Hesperitin and its physiologically unobjectionable glycosides, chalcone derivatives and esters, particularly hesperidin and its chalcone derivatives or phosphoric acid ester salts,
d) Glycyrrhetinic acid and its physiologically unobjectionable salts, esters and 3-glucurone derivatives, particularly glycyrrhizinic acid and its sodium, potassium or ammonium salts, and/or
e) sage oil.

The invention is hereinafter particularly described.

A water-alcohol solution was made up using 80% by weight of isopropyl alcohol and 20% of water, the solution also cantaining 0.2% by weight of β-sitosterin dissolved in isopropyl alcohol. The resulting antiperspirant composition was introduced into a dropping bottle and distributed to 46 test persons who were inclined to perspire heavily. Each person was instructed to apply 10 drops (0.5 mm) under one armpit after washing each morning. The test period lasted for several weeks. Each person was requested to compare the perspiration inhibiting effect produced in the one armpit. As a control there were also distributed dropping bottles (placebos) containing ineffective solutions. All the dropping bottles were unmarked, that is to say there was no noticeable difference between them. The results of these tests are set forth in Table 1.

TABLE 1

Active Substance	Number of test persons	Evaluated effectiveness: Very good or good	Sufficient	Not Sufficient
β–sitosterin	46	43	2	1

A second series of similar tests was then made, in which the concentration of β-sitosterin in the solution was 0.4% and 1.0% by weight. The results are set forth in Table 2.

TABLE 2

Active Substance	Concentration % by wt.	Number of test persons	Evaluated effectiveness: Very good or good	Sufficient	Not Sufficient
β–sitosterin	0.4	32	30	1	1
β –sitosterin	1.0	34	34	0	0

The particular concentration of β-sitosterin in the composition according to the invention depends on the form of application (pencil, powder, solution, suspension, spray), on the method of use and the properties of the active substance and on the degree of inhibition required. The optimum concentration in each instance can readily be determined by experiment.

The following Examples of the invention are provided.

Example 1.

A perspiration inhibiting body spray was made by dissolving 10 parts by weight of β-sitosterin in 990 parts by weight of isopropyl alcohol (99%). The resulting clear solution was filled into aerosol containers, which contained liquefied dichlorodifluoro methane as the propellant gas.

Example 2.

A perspiration inhibiting pencil was made by forming a mixture containing 2 g β-sitosterin, 5 g polyethylene glycol with an average molecular weight of 400, and 93 g of polyethylene glycol with an average molecular weight of 1500. The mixture was heated to 130° C. in a water bath. The resulting clear solution was first of all allowed to cool down to approximately 80° C. and was then allowed to cool slowly, with constant agitation, until it began to solidify at approximately 46° C. The resulting substance was cast in moulds coated internally with paraffin wax, so as to form the desired pencils.

Example 3.

A perspiration inhibiting lotion was made by dissolving 5 parts by weight of β-sitosterin in 95 parts by weight of isopropyl alcohol (99%). The resulting solution can be applied to the skin directly by hand, or by means of a container equipped with a distributor roller.

Example 4.

A perspiration inhibiting lotion was made by dissolving 8 parts by weight of β-sitosterin and 2 parts by weight of glycyrrhizinic acid in 990 parts by weight of a water-alcohol liquid containing 90% isopropyl alcohol and 10% water, by weight.

Example 5.

A perspiration inhibiting lotion was made by dissolving 5 parts by weight of β-sitosterin and 2 parts by weight of aescin in 993 parts by weight of isopropyl alcohol (99%).

Example 6.

A perspiration inhibiting body spray was made by mixing together 10 parts by weight of β-sitosterin, 50 parts by weight of aluminium chlorohydrate and 940 parts by weight of a water-alcohol liquid containing 50% by weight isopropyl alcohol, 30% by weight ethyl alcohol and 20% by weight water. The resulting mixture was filled into aerosol containers in which dichlorodifluoromethane served as the propellant gas.

Example 7.

A perspiration inhibiting body powder was made by mixing together 200 parts by weight of finely divided β-sitosterin, 400 parts by weight of finely elutriated kaolin and 400 parts by weight of finely divided talcum, to form an intimate mixture.

Example 8.

A perspiration inhibiting lotion was made by dissolving 10 parts by weight of β-sitosterin in 990 parts by weight of isopropyl alcohol.

A further test was made as follows. A number of test persons were each treated in one armpit with a 1% β-sitosterin solution in isopropyl alcohol. A moisture measuring instrument of the Tronnier-Wagener type (H. H. Wagener, Helvetica Physiologica Pharmacologica Acta 18, C3—C5, 1960 Dermatologica, 123, 1961 pp 277—287) was used, to measure moisture evaporation from the armpit. It was found that the perspiration inhibitor reduced the evaporation of moisture, compared to the initial value. Measurements were made 10 minutes, 1 hour, 2 hours and 24 hours after application of the perspiration inhibitor. It was found that the 1% β-sitosterin solution reduced moisture evaporation by 25 to 50%.

WHAT I CLAIM IS:—

1. An antiperspirant composition for external application in the form of a solid or liquid mixture comprising 0.02% to 10% by weight of a sitosterin and one or more conventional topically innocuous diluents or carrier materials.

2. A composition according to Claim 1, wherein the said sitosterin is present in a proportion of from 0.05% to 2% by weight.

3. A composition according to Claim 1 or Claim 2, wherein the said sitosterin is β-sitosterin.

4. A composition according to Claim 3, comprising from 0.2% to 2% by weight of β-sitosterin.

5. A composition according to any of Claims 1 to 4, in the form of a solution in a topically innocuous volatile aliphatic alcohol, said solution optionally containing a minor percentage by weight of water.

6. A composition according to Claim 5, wherein the said alcohol is isopropyl alcohol.

7. An aerosol container containing a liquid composition according to Claim 5 or Claim 6, together with a liquefied propellant gas.

8. A composition according to any of Claims 1 to 4, in the form of a pencil or powder .

9. An antiperspirant composition according to Claim 1 and substantially as hereinbefore described with particular reference to the Examples.

10. A process for reducing the formation of perspiration on the skin, comprising applying to the skin an antiperspirant composition according to any of Claims 1 to 10.

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